5

<u>Abstract</u>

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The invention provides novel peptide prodrugs which contain cleavage sites specifically cleaved by prostate specific antigen (PSA). These prodrugs are useful for substantially inhibiting the non-specific toxicity of a variety of therapeutic drugs. PSA is secreted by prostatic glandular cells. Upon cleavage of the prodrug by PSA, the therapeutic drugs are activated and exert their toxicity. Novel sesquiterpene-γ-lactones are also provided by the invention, and are designed to be linked to carrier moieties such as the peptides of the invention. Methods for treating cell proliferative disorders are also featured in the invention.

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